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| | | |
|---|---|---|
| NEWS 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS 2 | AUG 10 | Time limit for inactive STN sessions doubles to 40 minutes |
| NEWS 3 | AUG 18 | COMPENDEX indexing changed for the Corporate Source (CS) field |
| NEWS 4 | AUG 24 | ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced |
| NEWS 5 | AUG 24 | CA/CAplus enhanced with legal status information for U.S. patents |
| NEWS 6 | SEP 09 | 50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY |
| NEWS 7 | SEP 11 | WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus |
| NEWS 8 | OCT 21 | Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded |
| NEWS 9 | OCT 21 | Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models |
| NEWS 10 | NOV 23 | Addition of SCAN format to selected STN databases |
| NEWS 11 | NOV 23 | Annual Reload of IFI Databases |
| NEWS 12 | DEC 01 | FRFULL Content and Search Enhancements |
| NEWS 13 | DEC 01 | DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets |
| NEWS 14 | DEC 02 | Derwent World Patent Index: Japanese FI-TERM thesaurus added |
| NEWS 15 | DEC 02 | PCTGEN enhanced with patent family and legal status display data from INPADOCDB |
| NEWS 16 | DEC 02 | USGENE: Enhanced coverage of bibliographic and sequence information |

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

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FILE 'HOME' ENTERED AT 16:47:45 ON 02 DEC 2009

=> file registry
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.22       0.22

FILE 'REGISTRY' ENTERED AT 16:47:57 ON 02 DEC 2009
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STRUCTURE FILE UPDATES:    1 DEC 2009  HIGHEST RN 1194901-26-2
DICTIONARY FILE UPDATES:   1 DEC 2009  HIGHEST RN 1194901-26-2

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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REGISTRY includes numerically searchable data for experimental and
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experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> s CHIR 258/cn
L1            1 CHIR 258/CN

=> d l1

L1   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2009 ACS on STN
RN   692737-80-7  REGISTRY
ED   Entered STN:  14 Jun 2004
CN   Propanoic acid, 2-hydroxy-, compd. with
     4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-
     quinolinone (1:1)  (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN   Propanoic acid, 2-hydroxy-, compd. with
     4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-
     quinolinone (1:1) (9CI)
OTHER NAMES:
CN   CHIR 258
CN   Dovitinib lactate
CN   TKI 258
DR   1000873-96-0
MF   C21 H21 F N6 O . C3 H6 O3
SR   CA
LC   STN Files:   CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL

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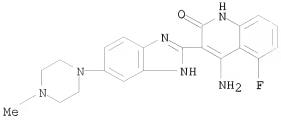

CM 2

CRN 50-21-5
CMF C3 H6 O3

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

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2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
63 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s 405169-16-6/crn
L2 31 405169-16-6/CRN

=> d l2

L2 ANSWER 1 OF 31 REGISTRY COPYRIGHT 2009 ACS on STN
RN 1187448-25-4 REGISTRY
ED Entered STN: 06 Oct 2009
CN INDEX NAME NOT YET ASSIGNED
MF C21 H21 F N6 O . x C3 H7 N O . C3 H6 O3
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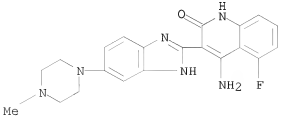

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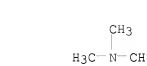

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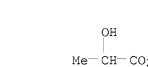

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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FILE 'REGISTRY' ENTERED AT 16:47:57 ON 02 DEC 2009
L1 1 S CHIR 258/CN
L2 31 S 405169-16-6/CRN

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY 10.41 TOTAL SESSION 10.63
FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 16:49:05 ON 02 DEC 2009
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FILE COVERS 1907 - 2 Dec 2009 VOL 151 ISS 23
FILE LAST UPDATED: 1 Dec 2009 (20091201/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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L3          64 L2

=> s l3 and ad<20031107
       4775475 AD<20031107
                (AD<20031107)
L4           2 L3 AND AD<20031107

=> d l4 1-2 ibib abs

L4   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2005:1242789  CAPLUS
DOCUMENT NUMBER:          143:477969
TITLE:                    Preparation of benzimidazole quinolinones for
                          inhibiting FGFR3 and treating multiple myeloma
INVENTOR(S):              Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla
                          C.; Machajewski, Timothy D.; Ryckman, David; Shang,
                          Xiao; Wiesmann, Marion; Zhu, Shuguang
PATENT ASSIGNEE(S):       Chiron Corporation, USA
SOURCE:                   U.S. Pat. Appl. Publ., 239 pp., Cont.-in-part of U.S.
                          Ser. No. 644,055.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   7
PATENT INFORMATION:

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     US 20050261307      A1     20051124    US 2004-983174           20041105
     US 20040092535      A1     20040513    US 2003-644055           20030819 <--
     US 7470709          B2     20081230
     CN 1692112          A      20051102    CN 2003-824565           20030819 <--
     US 20050203101      A1     20050915    US 2004-839793           20040505
     ZA 2006003598       A      20080430    ZA 2006-3598             20060505
     US 20090281100      A1     20091112    US 2008-317493           20081223
     US 20090181979      A1     20090716    US 2009-398130           20090304
PRIORITY APPLN. INFO.:                       US 2002-405729P     P    20020823
                                             US 2002-426107P     P    20021113
                                             US 2002-426226P     P    20021113
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
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OTHER SOURCE(S): MARPAT 143:477969
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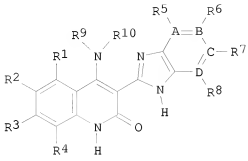

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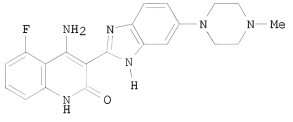

II

AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO2, etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting fibroblast growth factor receptor 3 or treating a biol. condition mediated by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFRβ with IC50 values of less than 1 μM. The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibited FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1223876 CAPLUS
DOCUMENT NUMBER: 143:477966
TITLE: Preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer
INVENTOR(S): Gesner, Thomas G.; Barsanti, Paul A.; Harrison, Stephen D.; Ni, Zhi-Jie; Brammeier, Nathan M.; Zhou, Yasheen; Le, Vincent P.
PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 249 pp., Cont.-in-part of U.S. Ser. No. 644,055.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| US 20050256157 | A1 | 20051117 | US 2005-41191 | 20050121 |
| US 20040092535 | A1 | 20040513 | US 2003-644055 | 20030819 <-- |
| US 7470709 | B2 | 20081230 | | |
| CN 1692112 | A | 20051102 | CN 2003-824565 | 20030819 <-- |
| US 20050203101 | A1 | 20050915 | US 2004-839793 | 20040505 |
| US 20090281100 | A1 | 20091112 | US 2008-317493 | 20081223 |

PRIORITY APPLN. INFO.:

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| US 2002-405729P | P | 20020823 |
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| US 2004-538984P | P | 20040123 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:477966; MARPAT 143:477966
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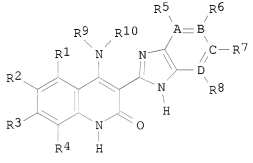

I

AB The title compds. [I; A, B, C, D = C, N; R1 = H, halo, CN, NO2, etc.; R2, R3 = H, halo, NO2, CN, etc.; R4 = H, (un)substituted alkyl; R5, R8 = H, (un)substituted alkyl, alkenyl, heterocyclyl; or R5 may be absent if A = N; or R8 may be absent if D = N; R6, R7 = H, halo, NO2, CN, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or R9 and R10 join together to form one or more rings, each having 5-7 members], useful for inhibiting checkpoint kinase 1, inducing cell cycle progression, and increasing apoptosis in cells, were prepared E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The compds. I were tested against various kinases. Two of the prepared compds. I, 4-[(3S)-1-azabicyclo[2.2.2]oct-3-ylamino]-3-(1H-benzimidazol-2-yl)-6-chloroquinolin-2-(1H)-one and 6-chloro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-4-[(piperidin-2-ylmethyl)amino]quinolin-2(1H)-one, were found to be potent inhibitors of CHK1 with IC50 of 0.32 nM and 0.63 nM, resp. The majority of the

exemplary compds. I displayed an IC50 of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CKlε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFRβ with IC50 values of less than 1 μM. The compds. I may be used to prepare pharmaceutical compns. and may be used in conjunction with DNA damaging agents.
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

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(FILE 'HOME' ENTERED AT 16:47:45 ON 02 DEC 2009)

FILE 'REGISTRY' ENTERED AT 16:47:57 ON 02 DEC 2009
L1 1 S CHIR 258/CN
L2 31 S 405169-16-6/CRN

FILE 'CAPLUS' ENTERED AT 16:49:05 ON 02 DEC 2009
L3 64 S L2
L4 2 S L3 AND AD<20031107

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RN 692737-80-7 REGISTRY
ED Entered STN: 14 Jun 2004
CN Propanoic acid, 2-hydroxy-, compd. with 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-quinolinone (1:1) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Propanoic acid, 2-hydroxy-, compd. with 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-quinolinone (1:1) (9CI)
OTHER NAMES:
CN CHIR 258
CN Dovitinib lactate
CN TKI 258
DR 1000873-96-0
MF C21 H21 F N6 O . C3 H6 O3
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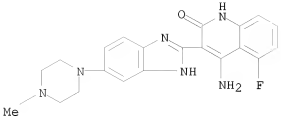

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CMF C3 H6 O3

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

61 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
63 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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| FULL ESTIMATED COST | 0.50 | 22.40 |

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STRUCTURE FILE UPDATES: 1 DEC 2009 HIGHEST RN 1194901-26-2
DICTIONARY FILE UPDATES: 1 DEC 2009 HIGHEST RN 1194901-26-2

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> S 1000873-96-0/RN

L6 1 1000873-96-0/RN

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DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L6 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2009 ACS on STN
RN 692737-80-7 REGISTRY
CN Propanoic acid, 2-hydroxy-, compd. with
4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-
quinolinone (1:1) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Propanoic acid, 2-hydroxy-, compd. with
4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-
quinolinone (1:1) (9CI)
OTHER NAMES:
CN CHIR 258
CN Dovitinib lactate
CN TKI 258
DR 1000873-96-0
MF C21 H21 F N6 O . C3 H6 O3
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL
DT.CA CAplus document type: Conference; Journal; Patent
RL.P Roles from patents: ANST (Analytical study); BIOL (Biological study);
PREP (Preparation); PRP (Properties); USES (Uses)
RLD.P Roles for non-specific derivatives from patents: BIOL (Biological
study); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation);
PRP (Properties); USES (Uses)

CM 1

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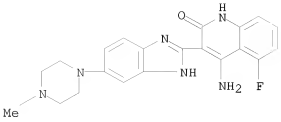

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

61 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
63 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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|---|---|---|
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FILE COVERS 1907 - 2 Dec 2009 VOL 151 ISS 23
FILE LAST UPDATED: 1 Dec 2009 (20091201/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L7 63 L6

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(AD<20031107)
L8 2 L7 AND AD<20031107

=> d l8 1-2 ibib abs

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1242?89 CAPLUS
DOCUMENT NUMBER: 143:477969
TITLE: Preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma
INVENTOR(S): Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla C.; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Wiesmann, Marion; Zhu, Shuguang
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 239 pp., Cont.-in-part of U.S. Ser. No. 644,055.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE | |
|---|---|---|---|---|---|---|
| US 20050261307 | A1 | 20051124 | US 2004-983174 | | 20041105 | |
| US 20040092535 | A1 | 20040513 | US 2003-644055 | | 20030819 | <-- |
| US 7470709 | B2 | 20081230 | | | | |
| CN 1692112 | A | 20051102 | CN 2003-824565 | | 20030819 | <-- |
| US 20050203101 | A1 | 20050915 | US 2004-839793 | | 20040505 | |
| ZA 2006003598 | A | 20080430 | ZA 2006-3598 | | 20060505 | |
| US 20090281100 | A1 | 20091112 | US 2008-317493 | | 20081223 | |
| US 20090181979 | A1 | 20090716 | US 2009-398130 | | 20090304 | |
| PRIORITY APPLN. INFO.: | | | US 2002-405729P | P | 20020823 | |
| | | | US 2002-426107P | P | 20021113 | |
| | | | US 2002-426226P | P | 20021113 | |
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| | | | US 2002-428210P | P | 20021121 | |
| | | | US 2003-460327P | P | 20030403 | |
| | | | US 2003-460328P | P | 20030403 | |
| | | | US 2003-460493P | P | 20030403 | |
| | | | US 2003-478916P | P | 20030616 | |

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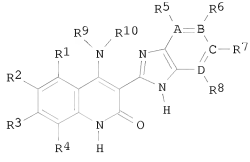
|---|---|---|
| US 2003-484048P | P | 20030701 |
| US 2003-644055 | A2 | 20030819 |
| US 2003-517915P | P | 20031107 |
| US 2003-526425P | P | 20031202 |
| US 2003-526426P | P | 20031202 |
| US 2004-546017P | P | 20040219 |
| US 2004-982543 | B1 | 20041105 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 143:477969
GI



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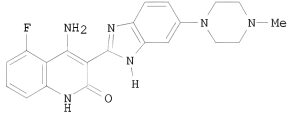


II

AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO2, etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting fibroblast growth factor receptor 3 or treating a biol. condition mediated by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFRβ with IC50 values of less than 1 μM. The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibited FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

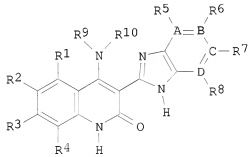
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1223876 CAPLUS

DOCUMENT NUMBER: 143:477966
TITLE: Preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer
INVENTOR(S): Gesner, Thomas G.; Barsanti, Paul A.; Harrison, Stephen D.; Ni, Zhi-Jie; Brammeier, Nathan M.; Zhou, Yasheen; Le, Vincent P.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 249 pp., Cont.-in-part of U.S. Ser. No. 644,055.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE | |
|---|---|---|---|---|---|---|
| US 20050256157 | A1 | 20051117 | US 2005-41191 | | 20050121 | |
| US 20040092535 | A1 | 20040513 | US 2003-644055 | | 20030819 | <-- |
| US 7470709 | B2 | 20081230 | | | | |
| CN 1692112 | A | 20051102 | CN 2003-824565 | | 20030819 | <-- |
| US 20050203101 | A1 | 20050915 | US 2004-839793 | | 20040505 | |
| US 20090281100 | A1 | 20091112 | US 2008-317493 | | 20081223 | |
| PRIORITY APPLN. INFO.: | | | US 2002-405729P | P | 20020823 | |
| | | | US 2002-426107P | P | 20021113 | |
| | | | US 2002-426226P | P | 20021113 | |
| | | | US 2002-426282P | P | 20021113 | |
| | | | US 2002-428210P | P | 20021121 | |
| | | | US 2003-460327P | P | 20030403 | |
| | | | US 2003-460328P | P | 20030403 | |
| | | | US 2003-460493P | P | 20030403 | |
| | | | US 2003-478916P | P | 20030616 | |
| | | | US 2003-484048P | P | 20030701 | |
| | | | US 2003-644055 | A2 | 20030819 | |
| | | | US 2004-538984P | P | 20040123 | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:477966; MARPAT 143:477966
GI



I

AB The title compds. [I; A, B, C, D = C, N; R1 = H, halo, CN, NO2, etc.; R2, R3 = H, halo, NO2, CN, etc.; R4 = H, (un)substituted alkyl; R5, R8 = H, (un)substituted alkyl, alkenyl, heterocyclyl; or R5 may be absent if A = N; or R8 may be absent if D = N; R6, R7 = H, halo, NO2, CN, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or R9 and R10 join together to form one or more rings, each having 5-7 members], useful for inhibiting checkpoint kinase 1, inducing cell cycle progression, and increasing

apoptosis in cells, were prepared E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The compds. I were tested against various kinases. Two of the prepared compds. I, 4-[(3S)-1-azabicyclo[2.2.2]oct-3-ylamino]-3-(1H-benzimidazol-2-yl)-6-chloroquinolin-2-(1H)-one and 6-chloro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-4-[(piperidin-2-ylmethyl)amino]quinolin-2(1H)-one, were found to be potent inhibitors of CHK1 with IC50 of 0.32 nM and 0.63 nM, resp. The majority of the exemplary compds. I displayed an IC50 of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFRβ with IC50 values of less than 1 μM. The compds. I may be used to prepare pharmaceutical compns. and may be used in conjunction with DNA damaging agents.
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

=> d his

(FILE 'HOME' ENTERED AT 16:47:45 ON 02 DEC 2009)

FILE 'REGISTRY' ENTERED AT 16:47:57 ON 02 DEC 2009
L1 1 S CHIR 258/CN
L2 31 S 405169-16-6/CRN

FILE 'CAPLUS' ENTERED AT 16:49:05 ON 02 DEC 2009
L3 64 S L2
L4 2 S L3 AND AD<20031107

FILE 'REGISTRY' ENTERED AT 16:49:48 ON 02 DEC 2009

FILE 'CAPLUS' ENTERED AT 16:49:49 ON 02 DEC 2009
L5 0 S 1000873-96-0/DR

FILE 'REGISTRY' ENTERED AT 16:50:24 ON 02 DEC 2009
L6 1 S 1000873-96-0/RN
SET NOTICE 1 DISPLAY
SET NOTICE LOGIN DISPLAY

FILE 'CAPLUS' ENTERED AT 16:50:46 ON 02 DEC 2009
L7 63 S L6
L8 2 S L7 AND AD<20031107

=> file medline embase biosis
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 9.24 34.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE -1.64 -3.28

FILE 'MEDLINE' ENTERED AT 16:51:43 ON 02 DEC 2009

FILE 'EMBASE' ENTERED AT 16:51:43 ON 02 DEC 2009

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FILE 'BIOSIS' ENTERED AT 16:51:43 ON 02 DEC 2009
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=> s l2
L9             0 L2

=> s l2<chem>

   SmartSELECT INITIATED
New TRANSFER and ANALYZE Commands Now Available
See HELP TRANSFER and HELP ANALYZE for Details


COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     5.07      39.24

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                     0.00      -3.28

FILE 'REGISTRY' ENTERED AT 16:51:51 ON 02 DEC 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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SET SMARTSELECT ON
SET COMMAND COMPLETED

SEL L2 1- CHEM
L10          SEL L2 1- CHEM :      36 TERMS

SET SMARTSELECT OFF
SET COMMAND COMPLETED


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CA SUBSCRIBER PRICE                                     0.00      -3.28

FILE 'MEDLINE' ENTERED AT 16:51:53 ON 02 DEC 2009

FILE 'EMBASE' ENTERED AT 16:51:53 ON 02 DEC 2009
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FILE 'BIOSIS' ENTERED AT 16:51:53 ON 02 DEC 2009
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S L10
L11          107 L10

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PROCESSING COMPLETED FOR L12
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   1 FILES SEARCHED...
L14           5 L13 AND PD<20031107

=> d l14 1-5 ibib abs

L14  ANSWER 1 OF 5  EMBASE  COPYRIGHT (c) 2009 Elsevier B.V. All rights
     reserved on STN
ACCESSION NUMBER:   2003481481  EMBASE
TITLE:              The impact of anti-angiogenic agents on cancer
                    therapy.
AUTHOR:             Marme, Dieter (correspondence)
CORPORATE SOURCE:   Tumor Biology Center, Institute of Molecular Oncology,
                    Breisacherstrasse 117, 79106 Freiburg, Germany. marme@tumor
                    bio.uni-freiburg.de
SOURCE:             Journal of Cancer Research and Clinical Oncology, (Nov
                    2003) Vol. 129, No. 11, pp. 607-620.
                    Refs: 89
                    ISSN: 0171-5216  CODEN: JCROD7
COUNTRY:            Germany
DOCUMENT TYPE:      Journal; General Review; (Review)
FILE SEGMENT:       016     Cancer
                    030     Clinical and Experimental Pharmacology
                    037     Drug Literature Index
                    038     Adverse Reactions Titles
LANGUAGE:           English
ENTRY DATE:         Entered STN: 29 Dec 2003
                    Last Updated on STN: 29 Dec 2003

L14  ANSWER 2 OF 5  EMBASE  COPYRIGHT (c) 2009 Elsevier B.V. All rights
     reserved on STN
ACCESSION NUMBER:   2003373828  EMBASE
TITLE:              Anti-cancer drug discovery and development
                    summit.
AUTHOR:             Blakey, David C. (correspondence)
CORPORATE SOURCE:   AstraZeneca, Alderley Park, Macclesfield, Cheshire SK10
                    4TF, United Kingdom. david.blakey@astrazeneca.com
SOURCE:             Expert Opinion on Investigational Drugs, (1 Sep
                    2003) Vol. 12, No. 9, pp. 1577-1582.
                    Refs: 15
                    ISSN: 1354-3784  CODEN: EOIDER
COUNTRY:            United Kingdom
DOCUMENT TYPE:      Journal; Conference Article; (Conference paper)
FILE SEGMENT:       016     Cancer
                    030     Clinical and Experimental Pharmacology
                    037     Drug Literature Index
                    038     Adverse Reactions Titles
LANGUAGE:           English
SUMMARY LANGUAGE:   English
ENTRY DATE:         Entered STN: 2 Oct 2003
                    Last Updated on STN: 2 Oct 2003
AB   The 5th Annual Anti-Cancer Drug Discovery and Development Summit
     brought together an international group of academic and industry
     scientists to discuss recent therapeutic developments in the field of
     oncology.  The focus of the meeting was novel targeted approaches, i.e.,
     those agents directed against targets that are overexpressed or overactive
     in tumour cells.  It was acknowledged that cytotoxic agents will
     continue to play a key role in the treatment of cancer and new
     developments in this area were also discussed.  With over 400
     anticancer drugs in clinical development and a number of recent
     registrations, there is great optimism that significant therapeutic
     advances can be made.
```

L14 ANSWER 3 OF 5 EMBASE COPYRIGHT (c) 2009 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 2003363876 EMBASE
TITLE: American Association for Cancer Research - 9th Annual Meeting: Investigating drugs: 11-14 July 2003, Washington, DC, USA.
AUTHOR: Mackay, Janie (correspondence); Williams, Laura
CORPORATE SOURCE: Thomson Current Drugs, Middlesex House, 34-42 Cleveland Street, London W1T 4JE, United Kingdom. laura.williams@current-drugs.com; janie.mackay@current-drugs.com
SOURCE: IDrugs, (1 Aug 2003) Vol. 6, No. 8, pp. 736-738. ISSN: 1369-7056 CODEN: IDRUFN
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Conference Article; (Conference paper)
FILE SEGMENT: 016 Cancer
030 Clinical and Experimental Pharmacology
036 Health Policy, Economics and Management
037 Drug Literature Index
038 Adverse Reactions Titles
052 Toxicology
LANGUAGE: English
ENTRY DATE: Entered STN: 25 Sep 2003
Last Updated on STN: 25 Sep 2003

L14 ANSWER 4 OF 5 EMBASE COPYRIGHT (c) 2009 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 2003276961 EMBASE
TITLE: Kinases - SMi Conference 9-10 April 2003, London, UK.
AUTHOR: Harrison, Ruth (correspondence)
CORPORATE SOURCE: Thomson Current Drugs, Middlesex House, 34-42 Cleveland Street, London W1T 4LB, United Kingdom. ruth.harrison@current-drugs.com
SOURCE: IDrugs, (1 Jun 2003) Vol. 6, No. 6, pp. 560-562. ISSN: 1369-7056 CODEN: IDRUFN
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Conference Article; (Conference paper)
FILE SEGMENT: 029 Clinical and Experimental Biochemistry
030 Clinical and Experimental Pharmacology
031 Arthritis and Rheumatism
037 Drug Literature Index
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 24 Jul 2003
Last Updated on STN: 24 Jul 2003
AB Dr. Moss briefly summed up the conference by describing the growth in the development of kinase research over the years and the commitment being invested by companies aiming to find effective screening strategies. He closed the day by remarking on the new challenge for researchers of turning the concepts discussed into successful drugs.

L14 ANSWER 5 OF 5 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:501918 BIOSIS
DOCUMENT NUMBER: PREV200300498316
TITLE: Preclinical pharmacokinetics and metabolism of CHIR258, a potent tyrosine kinase inhibitor.
AUTHOR(S): Vora, Jayesh [Reprint Author]; Haroldsen, Peter [Reprint Author]; Renhowe, Paul [Reprint Author]; Heise, Carla [Reprint Author]; Steigerwalt, Ronald [Reprint Author]; Todd, Marque [Reprint Author]; Harris, Alex [Reprint Author]; Samara, Emil [Reprint Author]
CORPORATE SOURCE: Chiron Corporation, Emeryville, CA, USA

SOURCE: Proceedings of the American Association for Cancer Research Annual Meeting, (July 2003) Vol. 44, pp. 753. print.
Meeting Info.: 94th Annual Meeting of the American Association for Cancer Research. Washington, DC, USA. July 11-14, 2003.
ISSN: 0197-016X.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 29 Oct 2003
Last Updated on STN: 29 Oct 2003

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FULL ESTIMATED COST 21.81 76.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -3.28

FILE 'STNGUIDE' ENTERED AT 16:53:40 ON 02 DEC 2009
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Nov 27, 2009 (20091127/UP).

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FILE 'REGISTRY' ENTERED AT 16:47:57 ON 02 DEC 2009
L1 1 S CHIR 258/CN
L2 31 S 405169-16-6/CRN

FILE 'CAPLUS' ENTERED AT 16:49:05 ON 02 DEC 2009
L3 64 S L2
L4 2 S L3 AND AD<20031107

FILE 'REGISTRY' ENTERED AT 16:49:48 ON 02 DEC 2009

FILE 'CAPLUS' ENTERED AT 16:49:49 ON 02 DEC 2009
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FILE 'REGISTRY' ENTERED AT 16:50:24 ON 02 DEC 2009
L6 1 S 1000873-96-0/RN
SET NOTICE 1 DISPLAY
SET NOTICE LOGIN DISPLAY

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L8 2 S L7 AND AD<20031107

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L9 0 S L2

FILE 'REGISTRY' ENTERED AT 16:51:51 ON 02 DEC 2009
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L12           93 S L11 AND (?CANCER? OR ?TUMOR? OR ?TUMOUR? OR ?NEOPLASM?)
L13           87 DUP REM L12 (6 DUPLICATES REMOVED)
L14            5 S L13 AND PD<20031107

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Executing the logoff script...


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